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L7          10 L6

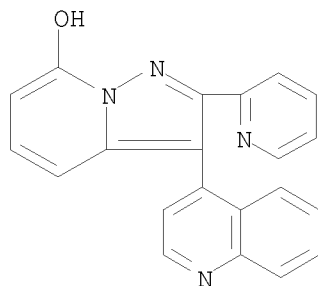
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L8          6 L7 AND PD<=2003
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=> focus
PROCESSING COMPLETED FOR L8
L9          6 FOCUS L8 1-
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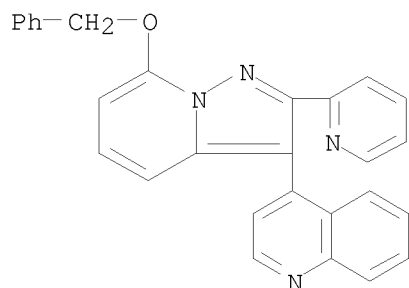
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L9  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:      2002:906238  CAPLUS
DOCUMENT NUMBER:       138:4598
TITLE:                 Preparation of substituted
                        5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF-β
                        signal transduction inhibitors
INVENTOR(S):           Sawyer, Jason Scott; Beight, Douglas Wade; Ciapetti,
                        Paola; Decollo, Todd Vincent; Godfrey, Alexander
                        Glenn; Goodson, Theodore, Jr.; Herron, David Kent; Li,
                        Hong-yu; Liao, Junkai; Mcmillen, William Thomas;
                        Miller, Shawn Christopher; Mort, Nicolas Anthony;
                        Yingling, Jonathan Michael; Smith, Edward C. R.
PATENT ASSIGNEE(S):    Eli Lilly and Company, USA; et al.
SOURCE:                PCT Int. Appl., 305 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:         Patent
LANGUAGE:              English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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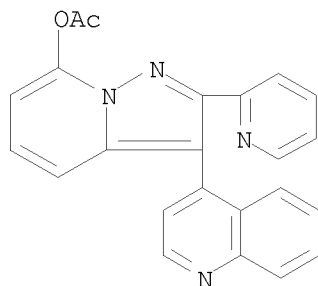
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094833	A1	20021128	WO 2002-US11884	20020513 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446820	A1	20021128	CA 2002-2446820	20020513 <--
AU 2002339268	A1	20021203	AU 2002-339268	20020513 <--
AU 2002339268	B2	20070531		
EP 1397364	A1	20040317	EP 2002-744115	20020513
EP 1397364	B1	20070725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009939	A	20040330	BR 2002-9939	20020513
CN 1511157	A	20040707	CN 2002-810508	20020513
CN 1269820	C	20060816		
JP 2004535404	T	20041125	JP 2002-591506	20020513
HU 2004000451	A2	20041228	HU 2004-451	20020513
HU 2004000451	A3	20080828		
NZ 528525	A	20051028	NZ 2002-528525	20020513



IT **476476-22-9P**, 7-Benzyloxy-2-(Pyridin-2-yl)-3-(quinolin-4-yl)pyrazolo[1,5-a]piperidine **476477-77-7P**,
 7-Acetoxy-2-(pyridin-2-yl)-3-(quinolin-4-yl)pyrazolo[1,5-a]piperidine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of (hetero)aromatic substituted
 5,6-dihydro-4H-pyrrolo[1,2-b]pyrazoles as TGF- β signal
 transduction inhibitors)
 RN 476476-22-9 CAPLUS
 CN Quinoline, 4-[7-(phenylmethoxy)-2-(2-pyridinyl)pyrazolo[1,5-a]pyridin-3-yl]- (CA INDEX NAME)



RN 476477-77-7 CAPLUS
 CN Pyrazolo[1,5-a]pyridin-7-ol, 2-(2-pyridinyl)-3-(4-quinolinyl)-, 7-acetate
 (CA INDEX NAME)



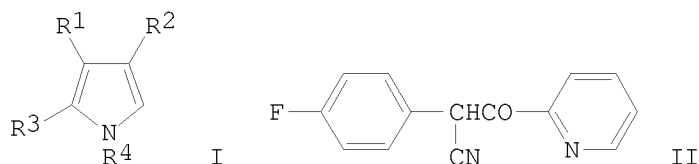
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1993:472619 CAPLUS
 DOCUMENT NUMBER: 119:72619

ORIGINAL REFERENCE NO.: 119:13093a,13096a
 TITLE: Preparation of pyrazole and
 4H-pyrazolo[1,5-a]pyrimidin-5-one derivatives as
 antiinflammatory, antirheumatic, antibacterial, and
 antiviral agents
 INVENTOR(S): Hashimoto, Kinji; Tomoyasu, Takahiro; Inoe, Makoto;
 Inai, Masatoshi
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05017470	A	19930126	JP 1991-219805	19910830 <--
JP 2753659	B2	19980520		

PRIORITY APPLN. INFO.: JP 1990-233622 A1 19900903
 OTHER SOURCE(S): MARPAT 119:72619
 GI



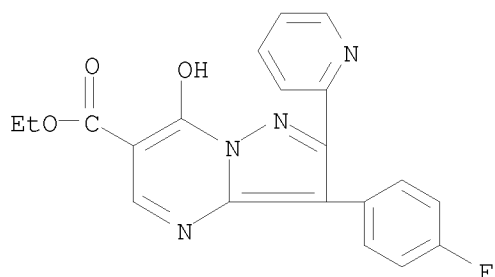
AB The title compds. [I; R¹ = pyridyl, Ph optionally having 1-3 substituents selected from alkyl, alkoxy, alkylthio, haloalkyl, halo, Ph, PhS, or methylenedioxy; R² = pyridyl, NHR⁵; R⁵ = H, alkyl, alkanoyl, CHO; R³ = pyridyl, R⁴ = H, alkanoyl, alkoxycarbonyl, phenylalkoxycarbonyl; or R³R⁴ = NHCO(CH₂)_n, N:NHC(CO₂R₆):COH; n = 1,2; R₆ = H, alkyl] are prepared as antiinflammatory, antirheumatic, antibacterial, and antiviral agents (no data). Thus, condensation of 4-FC₆H₄CH₂CN with Et picolinate in the presence of NaOMe in PhMe at 90° to a ketone nitrile (II) followed by cyclocondensation with N₂H₄.H₂O at 90° gave I (R¹ = 4-fluorophenyl, R² = R⁴ = NH₂, R³ = 2-pyridyl). A total of 39 I were prepared

IT **148612-03-7P 148612-04-8P**

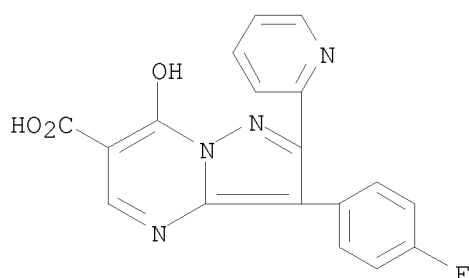
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as antiinflammatory, antirheumatic, antibacterial, and antiviral agent)

RN 148612-03-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid,
 3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)-, ethyl ester (CA INDEX NAME)



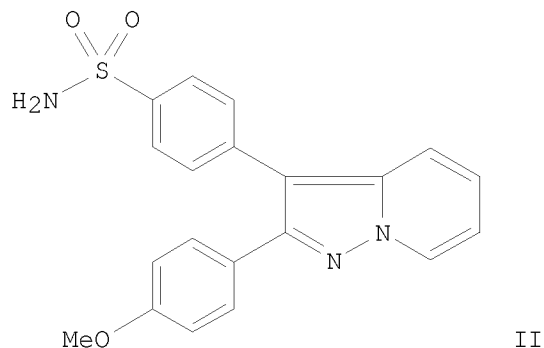
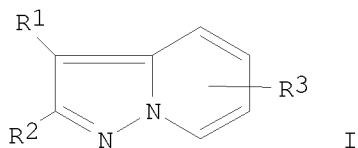
RN 148612-04-8 CAPLUS
 CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid,
 3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)- (CA INDEX NAME)



L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2001:371567 CAPLUS
 DOCUMENT NUMBER: 135:5612
 TITLE: Preparation of new pyrazolo terpyridines as remedies
 for inflammation, autoimmune diseases
 INVENTOR(S): Yamamoto, Hirofumi; Takahashi, Fumie; Kato, Takeshi;
 Nakamura, Katsuya; Manabe, Koji
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139575	A	20010522	JP 1999-323692	19991115 <--
PRIORITY APPLN. INFO.:			JP 1999-323692	19991115
OTHER SOURCE(S):	MARPAT	135:5612		

GI



AB The pyrazolo terpyridine or that salt which is cyclooxygenase - 2 (COX-II) inhibitors, those production methods, the medicine composition, and the person or

the animal which contain those inflammation condition, u painfully, prevention of the autoimmune disease and / or the method of treating is offered. Below-mentioned general formula (I) [in the formula, the R1 and the R2, the resp. hydrogen, the hydrogen, the low-grade alkyl group and the halogen et cetera, mean, R3 such as low-grade alkyl group and the cyclo (low grade) alkyl group resp.] So the chemical compound which is displayed or that salt.

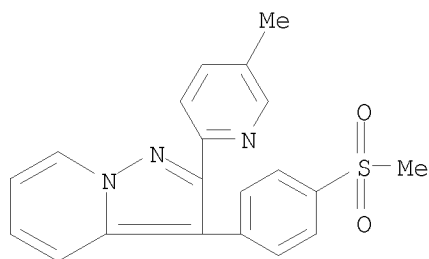
IT **340321-47-3P 340321-51-9P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of new pyrazolo terpyridines as remedies for inflammation autoimmune diseases)

RN 340321-47-3 CAPLUS

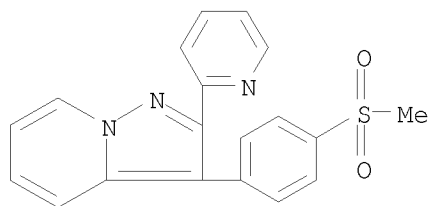
CN Pyrazolo[1,5-a]pyridine, 2-(5-methyl-2-pyridinyl)-3-[4-(methanesulfonyl)phenyl]- (CA INDEX NAME)



RN 340321-51-9 CAPLUS

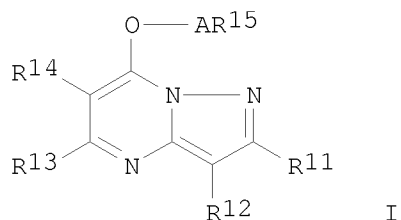
CN Pyrazolo[1,5-a]pyridine, 3-[4-(methanesulfonyl)phenyl]-2-(2-pyridinyl)-

(CA INDEX NAME)



L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:303413 CAPLUS
DOCUMENT NUMBER: 126:277485
ORIGINAL REFERENCE NO.: 126:53798h,53799a
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives
as analgesics
INVENTOR(S): Inoue, Makoto; Okamura, Takashi; Shoji, Yasuo;
Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711946	A1	19970403	WO 1996-JP2759	19960924 <--
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2206080	A1	19970403	CA 1996-2206080	19960924 <--
AU 9670022	A	19970417	AU 1996-70022	19960924 <--
AU 707530	B2	19990715		
EP 795555	A1	19970917	EP 1996-931299	19960924 <--
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1169149	A	19971231	CN 1996-191570	19960924 <--
TW 492970	B	20020701	TW 1996-85111836	19960926 <--
US 5843951	A	19981201	US 1997-836822	19970521 <--
PRIORITY APPLN. INFO.:			JP 1995-289096	A 19950928
			WO 1996-JP2759	W 19960924
OTHER SOURCE(S):	MARPAT 126:277485			
GI				



AB Claimed are analgesics which contain as the active ingredient

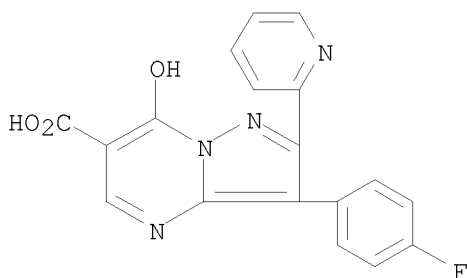
pyrazolo[1,5-a]pyrimidine derivs. represented by general formula [e.g. I; R11 = H, lower alkyl, pyridyl, furyl, thienyl, Ph optionally having lower alkyl or phenylthio as substituent(s), N-(lower alkyl)pyrrolyl, or pyrazinyl; R12 = H, halo, Ph, Ph having substituent(s) selected from among halo, phenylthio and CF₃, Ph having CF₃ and NO₂ as substituents, or Ph having lower alkoxy and phenylthio as substituents; R13 = H, lower alkyl optionally having oxo, ethylenedioxy, lower alkanoyloxy, lower alkoxy, lower alkylthio, CO₂H, halo or thienyl as substituent(s), lower alkenyl, cycloalkyl, Ph optionally having one to three substituents selected from among lower alkyl, halo and lower alkoxy, furyl, or thienyl; R14 = H, CO₂H, lower alkoxycarbonyl, NO₂, halo, or lower alkyl having lower alkoxycarbonyl or an alkali metal carboxylate residue as substituent(s), or alternatively R13 and R14 may be bonded to each other to thereby form lower alkylene; R15 = H, alkali metal, lower alkyl, Ph optionally having one to three substituents selected from among lower alkyl and lower alkoxy, pyridyl optionally having lower alkyl or halogeno as substituent(s), quinolyl or isoquinolyl; A = a single bond or lower alkylene]. These compds. have an analgetic action and are useful in relieving symptoms with pain such as postoperative pain and migraine. Thus, a solution of 1.5 g 3-amino-4-(4-phenylthio)phenylpyrazole and 1.1 g Et 2-cyclohexanecarboxylate in AcOH was heated at 100° for 3 h to give 1.8 g 9-hydroxy-3-(4-phenylthio)phenyl-5,6,7,8-tetrahydropyrazolo[5,1-b]quinazoline. I (R11 = R12 = R14 = H, R13= Bu, R15 = 3-pyridyl, A = CH₂) and I (R11 = R12 = R14 = H, R13= Bu, R15 = 4-pyridyl, A = CH₂) (II) at 3 mg/kg p.o. showed 95.3 and 92.8% recovery of pain threshold value in rat, resp., which was measured 3 h after the administration of the compound Formulations, e.g. tablet containing II, are described.

IT **148612-04-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as analgesics)

RN 148612-04-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid,
3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)- (CA INDEX NAME)



L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:472515 CAPLUS

DOCUMENT NUMBER: 139:53015

TITLE: Preparation of pyrazolopyridine derivatives as antiherpes agents

INVENTOR(S): Gudmundsson, Kristjan; Johns, Brian A.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

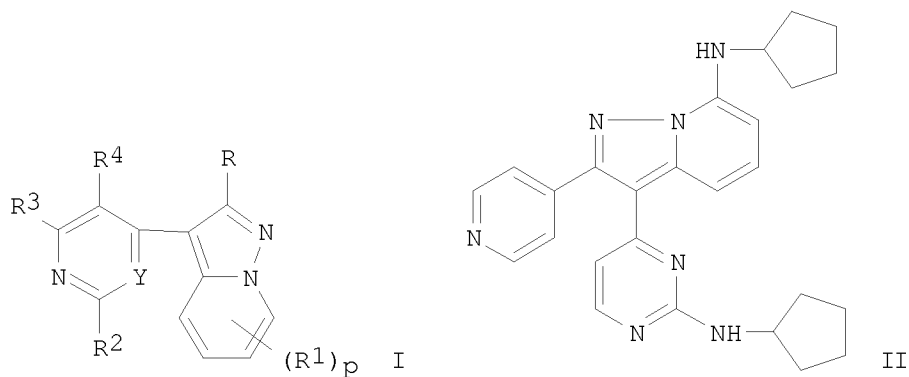
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050120	A1	20030619	WO 2002-US37052	20021120 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002357740	A1	20030623	AU 2002-357740	20021120 <--
EP 1453830	A1	20040908	EP 2002-792278	20021120
EP 1453830	B1	20070912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516916	T	20050609	JP 2003-551144	20021120
AT 373000	T	20070915	AT 2002-792278	20021120
ES 2292839	T3	20080316	ES 2002-792278	20021120
US 20040248903	A1	20041209	US 2004-496358	20040520
US 7199120	B2	20070403		
US 20070161653	A1	20070712	US 2006-558005	20061109
PRIORITY APPLN. INFO.:			US 2001-339585P	P 20011211
			WO 2002-US37052	W 20021120
			US 2004-496358	A3 20040520

OTHER SOURCE(S): MARPAT 139:53015
GI



AB Pyrazolopyridines I [R = (un)substituted aryl, heteroaryl; R1 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclic, (un)substituted OH, CO2H, CONH2, C(:NH)NH2, SH, S(O)H, SO2H, NH2, acyl, CN, NO2, N3; p = 0-4; R2 = halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, (un)substituted OH, SH, S(O)H, SO2H, NH2; R3, R4 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclic, (un)substituted OH, CO2H, CONH2, CSNH2, SH, s(O)H, SO2H, NH2, acyl] were prepared. Thus, 7-chloro-2-(4-pyridinyl)pyrazolo[1,5-a]pyridine was obtained from 6-chloro-2-picoline and Et isonicotinate in 3 steps and was acetylated, treated with cyclopentylamine, and cyclized with N-cyclopentylguanidine to give the pyrazolopyridine II which had IC50

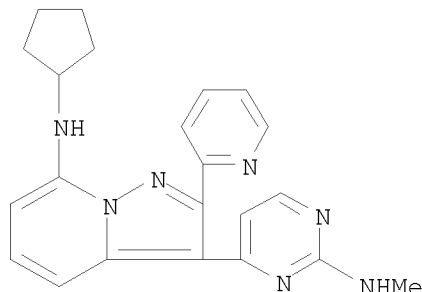
against HSV-1 of 1.5 μ M.

IT **544675-21-0P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridine derivs. as antiherpes agents)

RN 544675-21-0 CAPLUS

CN Pyrazolo[1,5-a]pyridin-7-amine, N-cyclopentyl-3-[2-(methylamino)-4-pyrimidinyl]-2-(2-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:580816 CAPLUS

DOCUMENT NUMBER: 119:180816

ORIGINAL REFERENCE NO.: 119:32331a,32334a

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as pharmaceuticals

INVENTOR(S): Inoe, Makoto; Inai, Masatoshi; Tomoyasu, Takahiro; Hashimoto, Kinji

PATENT ASSIGNEE(S): Otsuka Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

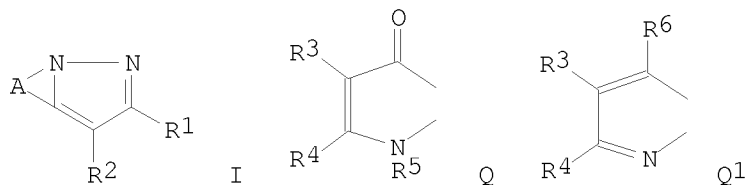
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05125079	A	19930521	JP 1991-288571	19911105 <--
PRIORITY APPLN. INFO.:			JP 1991-288571	19911105
OTHER SOURCE(S):	MARPAT	119:180816		

GI



AB The title derivs. I [R1 = (lower alkyl)heterocycle; R2 = H, halophenyl; A = Q, Q1; R3, R4 = H, lower alkyl, cycloalkyl, halo-substituted lower

alkyl, Ph, carboxyl, lower alkoxy carbonyl, halo; R3R4 may be bonded to form lower alkylene; R5 = lower alkyl, lower alkoxy carbonyl, BCOZ; B = lower alkylene; Z = di(lower alkyl)amino, 1-piperidinyl, 1-pyrrolidinyl; R6 = OH, lower alkyl; when R2 = halophenyl then R3 ≠ carboxy or lower alkoxy carbonyl], useful as antiinflammatories, antirheumatics, allergy inhibitors, antipyretics, and analgesics (no data), are prepared A solution of 36.8 g 2-cyanoacetyl-1-methylpyrrole and NH2NH2.H2O in isoamyl alc. was heated at 120° for 48 h to give 30.3 g 5-amino-3-[2-(1-methyl)pyrrolyl]pyrazole, 3.2 g of which was treated with 2.7 g MeCOCH2CO2Et in AcOH at 90° for 12 h to give 4.2 g 7-hydroxy-5-methyl-2-[2-(1-methyl)pyrrolyl]pyrazolo[1,5-a]pyrimidine. A total of 42 I were prepared

IT **150130-99-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 150130-99-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-ol, 3-(4-fluorophenyl)-5-methyl-2-(2-pyridinyl)-
(CA INDEX NAME)

